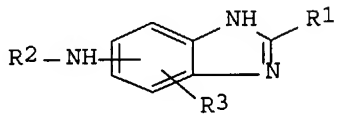
L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:412812 CAPLUS Full-text
DN 140:406808
TI Preparation of carbonylamino-benzimidazoles as selective androgen receptor modulators
IN Kim, Yuntae; Spencer, Keith L.; Hanney, Barbara; Duggan, Mark E.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041277	A1	20040521	WO 2003-US34345	20031028

PI
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-422914P P 20021101
OS MARPAT 140:406808
GI



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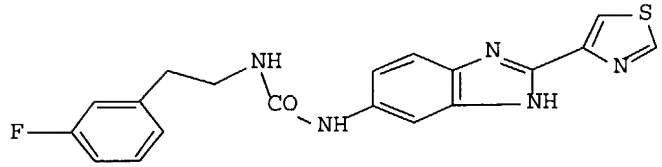


II

AB Carbonylamino-benzimidazoles (shown as I; variables defined below; e.g. II) are modulators of the androgen receptor (AR) in a tissue selective manner. They are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR in the prostate of a male patient or in the uterus of a female patient. These compds. are therefore useful in the enhancement of weakened muscle tone and the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, arthritic condition and joint repair, HIV-wasting, prostate cancer, cancer cachexia, Alzheimer's disease, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents. Although the methods of

preparation are not claimed, 6 example prepns. and characterization data for .apprx.150 examples of I are included; nearly all examples contain the thiazol-4-yl group at the 2 position of the benzimidazole. For example, II was prepared from 3-fluorophenethylamine, 1,1'-carbonyldiimidazole and [2-(thiazol-4-yl)-3H-benzimidazol-5-yl]amine, the latter of which was prepared from thiazole-4-carboxylic acid and (4-amino-3-nitrophenyl)carbamic acid tert-Bu ester (preparation described) via amide formation followed by cyclization in 20% aqueous AcOH. For I: R1 = aryl or heterocyclyl; R2 = -(C:O)NR5R6, -(C:O)a(C1-10)alkyl, -(C:O)a(C2-8)alkenyl, -(C:O)a(C2-8)alkynyl, -(C:O)a(C3-10)cycloalkyl, -(C:O)a(C3-8)heterocyclyl, and -(C:O)aaryl; R3 = H, halogen, -(C:O)aOb(C1-10)alkyl, -(C:O)aOb(C2-8)alkenyl, -(C:O)aOb(C2-8)alkynyl, -(C:O)aOb(C3-10)cycloalkyl, -(C:O)aOb(C3-8)heterocyclyl, -(C:O)aObaryl, -(C:O)aNR5R6, -Ob(C:O)NR5R6, -NR5(C:O)aObRb, -NR5(C:O)NR5R6, -NR5S(O)2Rb, -(C:O)OH, trifluoromethoxy, trifluoroethoxy, -Ob(C1-10)perfluoroalkyl, -S(O)2Ob(C1-10)alkyl, -S(O)2Ob(C2-8)alkenyl, -S(O)2Ob(C2-8)alkynyl, -S(O)2Ob(C3-10)cycloalkyl, -S(O)2Ob(C3-8)heterocyclyl, -S(O)2Obaryl, -NR5S(O)2NR5R6, -CN, -NO2, oxo, and -OH; a = 0-1; b = 0-1; addnl. details are given in the claims.

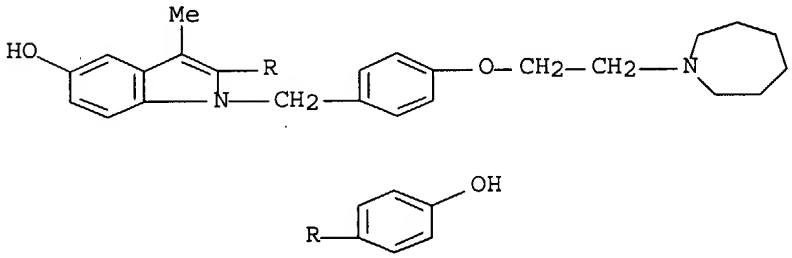
IT **198481-33-3**, TSE 424
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrug; preparation of carbonylamino-benzimidazoles as selective androgen receptor modulators)

RN 198481-33-3 CAPLUS

CN 1H-Indol-5-ol, 1-[[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]methyl]-2-(4-hydroxyphenyl)-3-methyl-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 198481-32-2
CMF C30 H34 N2 O3



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CRN 64-19-7
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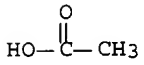


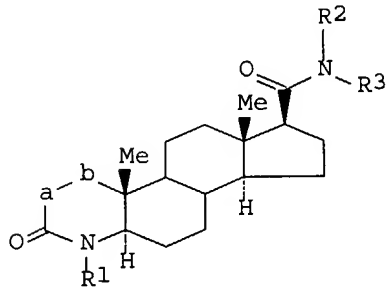
L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:757525 CAPLUS Full-text
DN 139:277056
TI Preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivatives as androgen receptor modulators
IN Meissner, Robert S.; Perkins, James J.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003077919	A1	20030925	WO 2003-US8277	20030307

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-363822P P 20020313
OS MARPAT 139:277056
GI



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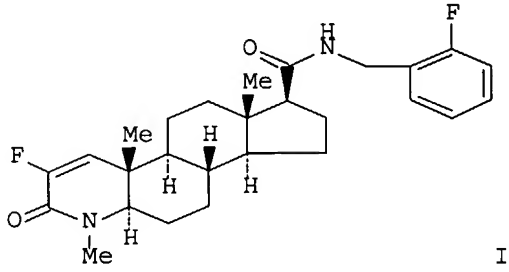


II

AB Fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs., such as I [a-b = CF:CH, CHFCH2, CF2CH2; R1 = H, CH2OH, (un)substituted alkyl; R2 = H, alkyl; R3 = alkyl, cycloheteroalkyl, aryl, heteroaryl; R2R3 = 5 or 6-membered ring fused with a 5- or 6-membered aromatic ring system having 0-2 heteroatoms], or a pharmaceutically acceptable salt or an enantiomer thereof, were prepared for their use as modulators of the androgen receptor (AR) in a tissue selective manner. Thus, 4-aza-androstan-3-one-17β- carboxamide derivative II, was prepared via a multiple step reaction sequence starting from 4-methyl-4-aza-androstan-3-one-17-carboxylic acid Me ester and 2-fluoro-benzylamine. The prepared compds. are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR in the prostate of a male patient or in the uterus of a female patient. I are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty,

aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

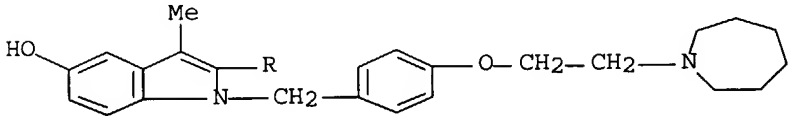
IT **198481-33-3**, Tse-424
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

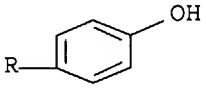
RN 198481-33-3 CAPLUS

CN 1H-Indol-5-ol, 1-[[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]methyl]-2-(4-hydroxyphenyl)-3-methyl-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

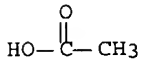
CRN 198481-32-2
CMF C30 H34 N2 O3





CM 2

CRN 64-19-7
CMF C2 H4 O2



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

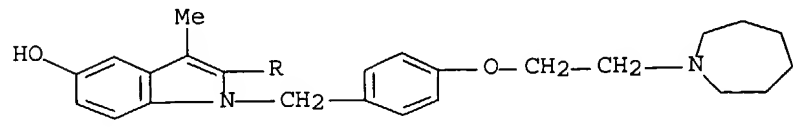
L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:610255 CAPLUS Full-text
DN 139:144410
TI Treatment with selective estrogen receptor modulators (SERMs) in conjunction with progestins to suppress cartilage degeneration
IN Christiansen, Claus; Christgau, Stephan
PA Nordic Bioscience A/S, Den.
SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

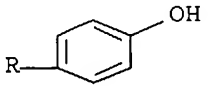
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003063859	A1	20030807	WO 2003-EP241	20030113

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI	GB 2002-743	A	20020114
	US 2002-348730P	P	20020114
	GB 2002-9495	A	20020425

OS MARPAT 139:144410
AB The present invention relates to the pharmaceutical use of selective estrogen receptor modulators (SERMs) alone or in combination with progestins for the treatment or prevention of diseases associated with elevated cartilage degradation In particular this invention relates to the pharmaceutical use of chroman derivs. in combination with moretindrone for the treatment or prevention of **osteoarthritis** or rheumatoid arthritis.
IT **198481-32-2**, Bazedoxifene
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment with selective estrogen receptor modulators (SERMs) in conjunction with progestins to suppress cartilage degeneration)
RN 198481-32-2 CAPLUS
CN 1H-Indol-5-ol, 1-[[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]methyl]-2-(4-hydroxyphenyl)-3-methyl- (9CI) (CA INDEX NAME)





RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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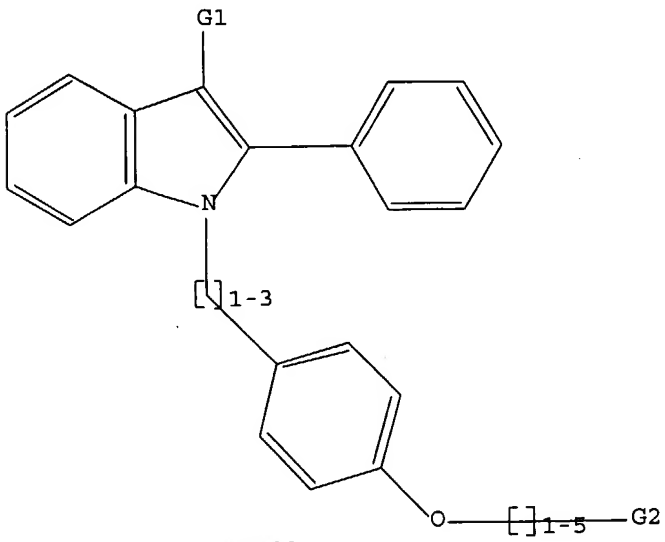
L1 STR



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G2 N,Hy

Structure attributes must be viewed using STN Express query preparation.

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L2 9 S L1
L3 191 S L1 FUL

FILE 'CAPLUS' ENTERED AT 15:44:09 ON 06 OCT 2004
L4 56 S L3
L5 3 S L4 AND OSTEOARTHRI?

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	16.54	173.01

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.10	-2.10

STN INTERNATIONAL LOGOFF AT 15:44:58 ON 06 OCT 2004